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Application No. 10/537,520 Supplemental amendment dated March 23, 2009

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## AMENDMENTS TO THE CLAIMS

The listing of claims will replace all prior versions, and listings, of claims in the application:

## 1. (Previously presented) A compound represented by formula:

$$(R^1)$$
  $N$   $N$   $N$   $N$ 

[wherein, R1 represents (1) a halogen atom, (2) hydroxyl, (3) nitro, (4) an optionally halogenated C1. 6 alkyl, (5) a C1-6 alkyl-carbonyl optionally having 1 to 5 substituents selected from (1') a halogen atom, (2') a C1-3 alkylenedioxy (3') nitro, (4') cyano, (5') a C1-6 alkyl which may be substituted with 1 to 5 halogen atoms, (6') a C<sub>2-6</sub> alkenyl which may be substituted with 1 to 5 halogen atoms, (7') a carboxy-C<sub>2-6</sub> alkenyl, (8') a C<sub>2-6</sub> alkynyl which may be substituted with 1 to 5 halogen atoms, (9') a C<sub>3-8</sub> cycloalkyl which may be substituted with 1 to 5 halogen atoms, (10') a C<sub>6-14</sub> aryl, (11') a C<sub>1-6</sub> alkoxy which may be substituted with 1 to 5 halogen atoms, (12') a C<sub>1-6</sub> alkoxy-carbonyl-C<sub>1-6</sub> alkoxy, (13') hydroxyl, (14') a C<sub>6-14</sub> aryloxy, (15') a C<sub>7-16</sub> aralkyloxy, (16') mercapto, (17') a C<sub>1-6</sub> alkylthio which may be substituted with 1 to 5 halogen atoms, (18') a C<sub>6-14</sub> arylthio, (19') a C<sub>7-16</sub> aralkylthio, (20') amino, (21') a mono-C<sub>1-6</sub> alkylamino, (22') a mono-C<sub>6-14</sub> arylamino, (23') a di-C<sub>1-6</sub> alkylamino, (24') a di-C<sub>6-14</sub> arylamino, (25') formyl, (26') carboxy, (27') a C<sub>1-6</sub> alkyl-carbonyl, (28') a C<sub>3-8</sub> cycloalkyl-carbonyl, (29') a C<sub>1-6</sub> alkoxy-carbonyl, (30') a C<sub>6-14</sub> aryl-carbonyl, (31') a C<sub>7-16</sub> aralkyl-carbonyl, (32') a C<sub>6-14</sub> aryloxy-carbonyl, (33') a C<sub>7-16</sub> aralkyloxy-carbonyl, (34') a 5- or 6membered heterocyclic carbonyl, (35') carbamoyl, (36') a mono-C<sub>1-6</sub> alkyl-carbamoyl, (37') a di-C<sub>1-6</sub> alkyl-carbamoyl, (38') a mono-C<sub>6-14</sub> aryl-carbamoyl, (39') a 5- or 6-membered heterocyclic carbamoyl, (40') a C<sub>1-6</sub> alkylsulfonyl, (41') a C<sub>6-14</sub> arylsulfonyl, (42') formylamino, (43') a C<sub>1-6</sub> alkylcarbonylamino, (44') a C<sub>6-14</sub> aryl-carbonylamino, (45') a C<sub>1-6</sub> alkoxy-carbonylamino, (46') a C<sub>1-6</sub> alkylsulfonylamino, (47') a C<sub>6-14</sub> arylsulfonylamino, (48') a C<sub>1-6</sub> alkyl-carbonyloxy, (49') a C<sub>6-14</sub> aryl-carbonyloxy, (50') a C<sub>1-6</sub> alkoxy-carbonyloxy, (51') a mono-C<sub>1-6</sub> alkyl-carbamoyloxy, (52') a di-C<sub>1-6</sub>alkyl-carbamoyloxy, (53') a mono-C<sub>6-14</sub> aryl-carbamoyloxy, (54') nicotinoyloxy, (55') a 5- to 7-

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membered saturated cyclic amino, (56') a 5- to 10-membered aromatic heterocyclic group and (57') sulfo (hereinafter simply referred to as Substituent group A);

- (6) a C<sub>2-6</sub> alkenyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A;
- (7) a  $C_{2-6}$  alkynyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A;
- (8) a  $C_{3-8}$  cycloalkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A;
- (9) a C<sub>6-14</sub> aryl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A; (10) a C<sub>7-16</sub> aralkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A;
- (11) a 5- to 14-membered heterocyclic carbonyl containing 1 to 4 hetero atoms, which are 1 or 2 different atoms selected from nitrogen, sulfur and oxygen atoms, in addition to carbon atoms (this heterocyclic carbonyl may have 1 to 5 substituents selected from the Substituent group A); (12) an amino optionally having 1 or 2 substituents selected from (1') a C1-6 alkyl optionally having 1 to 5 substituents selected from the Substituent group A, (2') a C<sub>2-6</sub> alkenyl optionally having 1 to 5 substituents selected from the Substituent group A, (3') a C<sub>2-6</sub> alkynyl optionally having 1 to 5 substituents selected from the Substituent group A, (4') a C<sub>3-8</sub> cycloalkyl optionally having 1 to 5 substituents selected from the Substituent group A, (5') a C<sub>6-14</sub> aryl optionally having 1 to 5 substituents selected from the Substituent group A, (6') a C<sub>7-16</sub> aralkyl optionally having 1 to 5 substituents selected from the Substituent group A, (7') a 5- to 14-membered heterocyclic group containing 1 to 4 hetero atoms, which are 1 or 2 different atoms selected from nitrogen, sulfur and oxygen atoms, in addition to carbon atoms, (8') a C1-6 alkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (9') a C2-6 alkenyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (10') a C<sub>2-6</sub> alkynyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (11') a C<sub>3-8</sub> cycloalkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (12') a C<sub>6-14</sub> arylcarbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (13') a C<sub>7-16</sub> aralkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A and

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(14') a 5- to 14-membered heterocyclic carbonyl containing 1 to 4 hetero atoms, which are 1 or 2 different atoms selected from nitrogen, sulfur and oxygen atoms, in addition to carbon atoms; R<sup>2</sup> is a group represented by formula:

(wherein  $R^4$  represents hydrogen atom or cyano, and  $R^5$  represents hydrogen atom, a  $C_{1-6}$  alkyl-carbonylamino); and, n is an integer of 0 to 4], or a salt thereof.

2-6. (Canceled)

- 7. (Previously presented) A 1, 3-benzothiazinone derivative, which is
- 2-(3-cyanophenyl)-4H-1,3-benzothiazin-4-one,
- 2-(4-acetylphenyl)-4H-1,3-benzothiazin-4-one,
- 2-(4-methylsulfonylphenyl)-4H-1,3-benzothiazin-4-one,
- 2-(4-acetylaminophenyl)-4H-1,3-benzothiazin-4-one, or
- $\hbox{$2$-(3-trifluoromethylphenyl)-$4H-1,3-benzothiazin-$4$-one.}$

8-10. (Canceled)

- 11. (Previously presented) A pharmaceutical composition comprising the compound according to claim 1 and a pharmaceutically acceptable carrier.
  - 12-19. (Canceled)
  - 20. (Currently amended) A compound represented by formula:

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wherein, R<sup>2</sup> represents a group represented by formula:

$$R^3$$
 $R^4$ 
 $R^5$ 
 $R^6$ 

wherein, one of R<sup>3</sup> and R<sup>7</sup> represents hydrogen atom, and the other is a C<sub>1-6</sub> alkyl optionally having 1 to 5 substituents selected from the Substituent group A or a  $C_{1-6}$  alkoxy optionally selected from the Substituent group A; and R4, R5 and R6 each represents hydrogen atom; one of R4 and R6 represents hydrogen atom, and the other is a bromine atom, cyano, an alkyl having a substituent selected from carboxy, a halogen atom, an alkoxycarbonyl and an arylcarbonylamino, a  $C_{1-6}$  alkoxy optionally having 1 to 5 substituents selected from the Substituent group A, an optionally substituted amino or alkoxycarbonyl and R3, R7 and R5 each represents hydrogen atom; and R5 represents hydroxy, cyano, an alkyl substituted with a halogen atom, aryl, an acyl, a carbamoyl optionally having 1 or 2 substituents selected from (1') a C<sub>1-6</sub> alkyl optionally having 1 to 5 substituents selected from the Substituent group A, (2') a C2-6 alkenyl optionally having 1 to 5 substituents selected from the Substituent group A, (3') a C2-6 alkynyl optionally having 1 to 5 substituents selected from the Substituent group A, (4') a C<sub>3-8</sub> cycloalkyl optionally having 1 to 5 substituents selected from the Substituent group A, (5') a C<sub>6-14</sub> aryl optionally having 1 to 5 substituents selected from the Substituent group A, (6') a C<sub>7-16</sub> aralkyl optionally having 1 to 5 substituents selected from the Substituent group A, (7') a 5- to 14-membered heterocyclic carbonyl containing 1 to 4 hetero atoms, which are 1 or 2 different atoms selected from nitrogen, sulfur and oxygen atoms, in addition to carbon atoms, (8') a C1-6 alkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (9') a  $C_{2-6}$  alkenyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (10') a  $C_{2-6}$  alkynyl-carbonyl optionally BOS2 728317.1 5

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having 1 to 5 substituents selected from the Substituent group A, (11') a C<sub>3-8</sub> cycloalkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (12') a C<sub>6-14</sub> aryl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (13') a C<sub>7-16</sub> aralkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A and (14') a 5- to 14-membered heterocyclic carbonyl containing 1 to 4 hetero atoms, which are 1 or 2 different atoms selected from nitrogen, sulfur and oxygen atoms, in addition to carbon atoms, or an amino optionally having 1 or 2 substituents selected from (1') a C<sub>1-6</sub> alkyl optionally having 1 to 5 substituents selected from the Substituent group A, (2') a C<sub>2-6</sub> alkenyl optionally having 1 to 5 substituents selected from the Substituent group A and R<sup>3</sup>, R<sup>4</sup>, R<sup>6</sup> and R<sup>7</sup> each represents hydrogen atom, or a salt thereof;

wherein Substituent group A is (1') a halogen atom, (2') a C<sub>1-3</sub> alkylenedioxy (3') nitro, (4') cyano, (5') a C1-6 alkyl which may be substituted with 1 to 5 halogen atoms, (6') a C2-6 alkenyl which may be substituted with 1 to 5 halogen atoms, (7') a carboxy-C2-6 alkenyl, (8') a C2-6 alkynyl which may be substituted with 1 to 5 halogen atoms, (9') a C3-8 cycloalkyl which may be substituted with 1 to 5 halogen atoms, (10') a C<sub>6-14</sub> aryl, (11') a C<sub>1-6</sub> alkoxy which may be substituted with 1 to 5 halogen atoms, (12') a C<sub>1-6</sub> alkoxy-carbonyl-C<sub>1-6</sub> alkoxy, (13') hydroxyl, (14') a C<sub>6-14</sub> aryloxy, (15') a C<sub>7-16</sub> aralkyloxy, (16') mercapto, (17') a C<sub>1-6</sub> alkylthio which may be substituted with 1 to 5 halogen atoms, (18') a C<sub>6-14</sub> arylthio, (19') a C<sub>7-16</sub> aralkylthio, (20') amino, (21') a mono-C<sub>1-6</sub> alkylamino, (22') a mono-C<sub>6-14</sub> arvlamino, (23') a di-C<sub>1-6</sub> alkylamino, (24') a di-C<sub>6-14</sub> arvlamino, (25') formyl, (26') carboxy, (27') a C1-6 alkyl-carbonyl, (28') a C2-8 cycloalkyl-carbonyl, (29') a C1-6 alkoxycarbonyl, (30') a C<sub>6-14</sub> aryl-carbonyl, (31') a C<sub>7-16</sub> aralkyl-carbonyl, (32') a C<sub>6-14</sub> aryloxy-carbonyl, (33') a C<sub>7-16</sub> aralkyloxy-carbonyl, (34') a 5- or 6-membered heterocyclic carbonyl, (35') carbamoyl, (36') a mono-C<sub>1-6</sub> alkyl-carbamoyl, (37') a di-C<sub>1-6</sub> alkyl-carbamoyl, (38') a mono-C<sub>6-14</sub> arylcarbamoyl, (39') a 5- or 6-membered heterocyclic carbamoyl, (40') a C<sub>1-6</sub> alkylsulfonyl, (41') a C<sub>6-14</sub> arylsulfonyl, (42') formylamino, (43') a C<sub>1-6</sub> alkyl-carbonylamino, (44') a C<sub>6-14</sub> aryl-carbonylamino, (45') a C<sub>1-6</sub> alkoxy-carbonylamino, (46') a C<sub>1-6</sub> alkylsulfonylamino, (47') a C<sub>6-14</sub> arylsulfonylamino, (48') a C<sub>1-6</sub> alkyl-carbonyloxy, (49') a C<sub>6-14</sub> aryl-carbonyloxy, (50') a C<sub>1-6</sub> alkoxy-carbonyloxy, (51') a mono-C<sub>1-6</sub>alkyl-carbamoyloxy, (52') a di-C<sub>1-6</sub>alkyl-carbamoyloxy, (53') a mono-C<sub>6-14</sub> arylcarbamovloxy, (54') nicotinovloxy, (55') a 5- to 7-membered saturated cyclic amino, (56') a 5- to 10-membered aromatic heterocyclic group and (57') sulfo.

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21. (Previously presented) The compound according to claim 20, wherein one of  $R^4$  and  $R^6$  represents hydrogen atom, and the other is bromine atom, (iv) hydroxyl, (v) cyano, (vi) a carboxy-substituted alkyl, (vii) a  $C_{1-6}$  alkoxy optionally having 1 to 5 substituents selected from the Substituent group A, or an amino optionally having 1 or 2 substituents selected from (1') a  $C_{1-6}$  alkyl optionally having 1 to 5 substituents selected from the Substituent group A, (2') a  $C_{2-6}$  alkenyl optionally having 1 to 5 substituents selected from the Substituent group A and  $R^3$ ,  $R^7$  and  $R^5$  each

22. (Previously presented) The compound according to claim 20, wherein, R<sup>2</sup> represents: a group represented by formula:

$$R^{3} \xrightarrow{R^{4}} R^{5}$$

represents hydrogen atom,

wherein: (I) one of  $\mathbb{R}^3$  and  $\mathbb{R}^7$  represents: hydrogen atom, and the other is a  $C_{1-6}$  alkyl optionally having 1 to 5 substituents selected from the Substituent group A, wherein Substituent group A consists of a C<sub>1-6</sub> alkyl-carbonyl optionally having 1 to 5 '1 substituents selected from (1') a halogen atom, (2') a C<sub>1-3</sub> alkylenedioxy, (3') nitro, (4') cyano, (5') a C<sub>1-6</sub> alkyl which may be substituted with 1 to 5 halogen atoms, (6') a C<sub>2-6</sub> alkenyl which may be substituted with 1 to 5 halogen atoms, (7') a carboxy-C2-6 alkenyl, (8') a C2-6 alkynyl which may be substituted with 1 to 5 halogen atoms, (9') a  $C_{3-8}$  cycloalkyl which may be substituted with 1 to 5 halogen atoms, (10') a  $C_{6-14}$  aryl, (11') a  $C_{1-6}$ alkoxy which may be substituted with 1 to 5 halogen atoms, (12') a  $C_{1-6}$  alkoxy- carbonyl- $C_{1-6}$ alkoxy, (13') hydroxyl, (14') a  $C_{6-14}$  aryloxy, (15') a  $C_{7-16}$  aralkyloxy, (16') mercapto, (17') a  $C_{1-6}$ alkylthio which may be substituted with 1 to 5 halogen atoms, (18') a C<sub>6-14</sub> arylthio, (19') a C<sub>7-16</sub> aralkylthio, (20') amino, (21') a mono-C1-6 alkylamino, (22') a mono-C6-14 arylamino, (23') a di-C1-6 alkylamino, (24') a di- $C_{6-14}$  arylamino, (25') formyl, (26') carboxy, (27') a  $C_{1-6}$  alkyl-carbonyl, (28') a  $C_{3-8}$  cycloalkyl-carbonyl, (29') a  $C_{1-6}$  alkoxy-carbonyl, (30') a  $C_{6-14}$  aryl-carbonyl, (31') a  $C_{7-16}$ aralkyl-carbonyl, (32') a  $C_{6-14}$  aryloxy-carbonyl, (33') a  $C_{7-16}$  aralkyloxy-carbonyl, (34') a 5- or 6-BOS2 728317.1 7

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membered heterocyclic carbonyl, (35') carbamoyl, (36') a mono- C<sub>1-6</sub> alkyl-carbamoyl, (37') a di-C1-6alkyl-carbamoyl, (38') a mono-C<sub>6-14</sub> aryl-carbamoyl, (39') a 5- or 6-membered heterocyclic carbamoyl, (40') a C<sub>1-6</sub> alkylsulfonyl, (41') a C<sub>6-14</sub> arylsulfonyl, (42') formylamino, (43') a C<sub>1-6</sub> alkylcarbonylamino, (46') a C<sub>1-6</sub> alkylcarbonylamino, (46') a C<sub>1-6</sub> alkylsulfonylamino, (46') a C<sub>1-6</sub> alkylsulfonylamino, (47') a C<sub>6-14</sub> arylsulfonylamino, (48') a C<sub>1-6</sub> alkyl-carbonyloxy, (49') a C<sub>6-14</sub> arylcarbonyloxy, (50') a C<sub>1-6</sub> alkoxy-carbonyloxy, (51') a mono-C<sub>1-6</sub> alkyl-carbamoyloxy, (52') a di-C<sub>1-6</sub> alkyl-carbamoyloxy, (53') a mono-C<sub>6-14</sub> aryl-carbamoyloxy, (54') nicotinoyloxy, (55') a 5- to 7-membered saturated cyclic amino, (56') a 5- to 10-membered aromatic heterocyclic group and (57') sulfo; a C<sub>1-6</sub> alkoxy optionally having 1 to 5 substituents selectedfrom Substituent group A; and R<sup>4</sup>, R<sup>5</sup> and R6 each represents hydrogen atom; or

(II) one of R<sup>4</sup> and R<sup>6</sup> each independently represents:

hydrogen atom; and

the other is bromine atom; cyano; a  $C_{1-6}$  alkyl having 1 to 3 substituents selected from carboxy, a halogen atom, a  $C_{1-6}$  alkoxy-carbonyl and a  $C_{6-14}$  aryl-carbonylamino; a  $C_{1-6}$  alkoxy optionally having 1 to 5 substituents selected from the Substituent group A, an amino having a  $C_{1-6}$  alkyl-carbonyl, a  $C_{1-6}$  alkoxy-carbonyl or

(III)  $R^5$  represents: hydroxy; cyano; a  $C_{1-6}$  alkyl substituted with 1 to 5 halogen atoms; a  $C_{6-14}$  aryl; a  $C_{1-6}$  alkyl-carbonyl; a carbamoyl having 2  $C_{1-6}$  alkyl groups or an amino having a  $C_{1-6}$  alkyl-carbonyl group.

23. (Previously presented) The compound according to claim 20, wherein R<sup>2</sup> is a group represented by formula:

$$R^{3'}$$
  $R^{4'}$   $R^{5'}$ 

(wherein (1)  $R^{3'}$  represents a  $C_{1-6}$  alkoxy or a  $C_{1-6}$  alkyl substituted with 1 to 5 halogen atoms, and  $R^{4'}$  and  $R^{5'}$  each represents hydrogen atom; (2)  $R^{4'}$  represents bromine atom, cyano, a  $C_{1-6}$  alkyl having 1 to 3 substituents selected from carboxy, a halogen atom, a  $C_{1-6}$  alkoxy-carbonyl and a  $C_{6-14}$  aryl-carbonylamino, a  $C_{1-6}$  alkoxy substituted with a  $C_{1-6}$  alkoxy-carbonyl or a  $C_{1-6}$  alkyl-

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carbonylamino, and  $R^{3'}$  and  $R^{5'}$  each represents hydrogen atom; or (3)  $R^{5'}$  represents hydroxy, cyano, a  $C_{1-6}$  alkyl substituted with 1 to 5 halogen atoms, a  $C_{6-14}$  aryl, a  $C_{1-6}$  alkyl-carbonyl, a di- $C_{1-6}$  alkyl-carbonylamino, and  $R^{3'}$  and  $R^{4'}$  each represents hydrogen atom).

24. (Previously presented) The compound according to claim 23, wherein  $\mathbb{R}^2$  is a group represented by formula:

(wherein (1)  $R^{3'}$  represents a  $C_{1-6}$  alkoxy or a  $C_{1-6}$  alkyl substituted with 1 to 5 halogen atoms, and  $R^{4'}$  and  $R^{5'}$  each represents hydrogen atom; (2)  $R^{4'}$  represents bromine atom, cyano, a  $C_{1-6}$  alkyl having 1 to 3 substituents selected from carboxy, a halogen atom, a  $C_{1-6}$  alkoxy-carbonyl and a  $C_{6-14}$  alyl-carbonylamino, a  $C_{1-6}$  alkoxy substituted with a  $C_{1-6}$  alkoxy-carbonyl or a  $C_{1-6}$  alkyl-carbonylamino, and  $R^{3'}$  and  $R^{5'}$  each represents hydrogen atom; or (3)  $R^{5'}$  represents hydroxy, cyano, a  $C_{1-6}$  alkyl substituted with 1 to 5 halogen atoms, a  $C_{6-14}$  aryl, a  $C_{1-6}$  alkyl-carbonyl, a di- $C_{1-6}$  alkyl-carbonyl or a  $C_{1-6}$  alkyl-carbonylamino, and  $R^{3'}$  and  $R^{4'}$  each represents hydrogen atom.

25. (Previously presented) The compound according to claim 23, wherein R<sup>2</sup> is a group represented by formula:

(wherein (1) R<sup>3'</sup> represents a C<sub>1-6</sub> alkyl substituted with 1 to 5 halogen atoms, and R<sup>4'</sup> and R<sup>5'</sup> each represents hydrogen atom; (2) R<sup>4'</sup> represents cyano, a C<sub>1-6</sub> alkyl having 1 to 3 substituents selected from carboxy, a halogen atom, a C<sub>1-6</sub> alkoxy-carbonyl and a C<sub>6-14</sub> aryl-carbonylamino, a C<sub>1-6</sub> alkoxy substituted with a C<sub>1-6</sub> alkoxy-carbonyl or a C<sub>1-6</sub> alkyl-carbonylamino, and R<sup>3'</sup> and R<sup>5'</sup> each represents hydrogen atom; or (3) R<sup>5'</sup> represents cyano, a C<sub>1-6</sub> alkyl substituted with 1 to 5 halogen atoms, a C<sub>6-14</sub> alyl or a C<sub>1-6</sub> alkyl-carbonylamino, and R<sup>3'</sup> and R<sup>4'</sup> each represents hydrogen atom.

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26. (Previously presented) The compound according to claim 20, wherein R<sup>2</sup> is a group represented by formula:

(wherein (1)  $R^{4"}$  represents cyano and  $R^{5"}$  represents hydrogen atom, or (2)  $R^{4"}$  represents hydrogen atom and  $R^{5"}$  represents a  $C_{1-6}$  alkyl-carbonyl or a  $C_{1-6}$  alkyl- 1 carbonylamino).

- 27. (Previously presented) A pharmaceutical composition comprising the compound according to claim 20 and a pharmaceutically acceptable carrier.
  - 28. (Canceled)
  - 29. (Canceled)